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UNITED STATES PATENT AND TRADEMARK OFFICE

BEFORE THE BOARD OF PATENT APPEALS
AND INTERFERENCES
(Senior Administrative Patent Judge McKelvey)

ROBERT H. **GRUBBS**
DONALD W. WARD, THOMAS J. SEIDERS and STEVEN D. GOLDBERG,

Junior Party
Application 10/124,745),

v.

STEVEN P. **NOLAN**
and JINKUN HUANG,

Senior Party
(Application 09/392,869).

Patent Interference No. 105,374
Technology Center 1600

Before McKELVEY, Senior Administrative Patent Judge, and HANLON and NAGUMO, Administrative Patent Judges.

NAGUMO, Administrative Patent Judge.

DECISION — Interlocutory Motions - Bd.R. 125(b)

I. Introduction

This interference concerns certain species of metathesis catalysts known in the art as "second generation

Grubbs catalysts." Generally, Grubbs catalysts are notable for their ability to promote metathesis reactions in a great variety of olefins, including olefins substituted with polar functional groups and active hydrogen atoms. As a result, they have become used in many areas of chemistry, ranging from polymerization reactions to natural product syntheses. The Nobel Prize in Chemistry for 2005 was awarded to Yves Chauvin, Robert H. Grubbs, and Richard R. Schrock for their discoveries relating to the development of the metathesis reaction in organic synthesis.

This abbreviated introduction is intended to orient the non-chemical reader to the technical background of the interference. It is based primarily on the Advanced Information on the Nobel Prize in Chemistry 2005 (5 October 2005), provided by the Royal Swedish Academy of Sciences (GX 2049)[1]. This section does not constitute findings of fact on which we base our decision: formal findings of fact are set out in the body of this opinion.

Olefin metathesis involves the formal exchange of the carbene (divalent carbon, or $R_2C=$) groups between two olefins, e.g.,

$$R^1{}_2C{=}CR^2{}_2 + R^3{}_2C{=}CR^4{}_2 \rightarrow R^1{}_2C{=}CR^3{}_2 + R^2{}_2C{=}CR^4{}_2.$$

[1] Grubbs exhibits are cited as "GX 200X."

(The other combinations of R_2C moieties are possible; the distribution of products depends on the thermodynamics and the kinetics of the reactions.) In 1992, following extensive work by many different research groups, Grubbs[2] published the first well-defined ruthenium carbene complex that functioned as a metathesis catalyst. These catalysts, which have the formula

$$RuCl_2(PPh_3)_2(=CH\text{-}CH=CPh_2),$$

polymerize norbornene, a strained cyclic olefin, via a metathesis reaction. They remain active in the presence of polar molecules, including alcohols and water. The complex is shaped like a triangular bipyramid in which the two chloride ("Cl") moieties and the diphenylvinylcarbene ("$(=CH\text{-}CH=CPh_2)$") moiety lie in an approximate equatorial plane with the ruthenium, while the two triphenylphosphine (PPh_3) moieties are bonded to the ruthenium along an axis vertical to the chloro-phenylvinylidene plane. In subsequent research, Grubbs discovered that the substitution of cyclohexyl ("Cy") groups for the phenyl groups attached to phosphorus, and the substitution of the simpler phenylcarbene, =CHPh, for the diphenylvinylcarbene group, resulted in simply prepared, stable catalysts that

[2] Only the principal investigator's name is used. In each case, other members of the research groups were involved.

remained very active in the presence of polar functional groups such as -OH. These catalysts became commercially available, and were adopted in many areas of organic synthesis ranging from ring opening metathesis polymerizations to the synthesis of complicated antibiotic analogues of natural products. These catalysts came to be known as "first generation Grubbs catalysts."

Detailed studies of the mechanism of the reaction indicated that the dissociation of one of the phosphine (PR_3) groups was a critical step in the reaction. The search for catalysts having longer active lives led to the discovery of ruthenium complexes in which the axial phosphines were replaced by N-heterocyclic carbenes ("NHC"). More active catalysts were soon found in which only one of the phosphines was replaced by an NHC. In the words of the Royal Swedish Academy of Sciences:

> In Grubbs' catalysts containing only one such ligand the dissociation rate of the remaining phosphine is increased, increasing metathesis activity. Similar results were published almost simultaneously by S.P. Nolan and by A. Fürstner and Herrmann in 1999. The new, more reactive, catalysts are called second generation Grubbs catalysts.

(GX 2049 at 6; emphasis added.)

The present interference concerns a particular subgenus of "second generation Grubbs catalyst" in which

the N-heterocyclic carbene has two nitrogens in a five-membered saturated ring (i.e., a ring that contains no double bonds), and in which the "equatorial" carbene is a member of the series of "cumulene" carbenes, $=CR_2$, $=C=CR_2$, and $=C=C=CR_2$.

Grubbs and Nolan each filed one motion. The parties did not request oral argument.

For the reasons given below, Grubbs' motion is DISMISSED. Nolan's motion for benefit with respect to Count 4 is DENIED.

II. Findings of Fact

Junior Party Grubbs

1. Grubbs is involved in this interference on the basis of original application 10/124,745 ("745 application," GX 2053), which was filed on 16 April 2002, and titled "Group 8 Transition Metal Carbene Complexes as Enantioselective Olefine Metathesis Catalysts." (Paper 1 at 3.)

2. The inventors listed for Grubbs are Robert H. Grubbs, Donald W. Ward, Thomas J. Seiders and Steven D. Goldberg. (Paper 1 at 3.)

3. Grubbs' real party-in-interest is identified as the California Institute of Technology. (Paper 12 at 2.)

4. The 745 application claims the benefit under 35 U.S.C. § 119(e) of:

> U.S. Provisional Application 60/284,214 (GX 2001, "214 provisional application"), filed 16 April 2001.

5. Grubbs has NOT been accorded the benefit for priority of the 214 provisional application. (Paper 29 at 4.)

Senior Party Nolan

6. Nolan is involved in this interference on the basis of original application 09/392,869 (GX 2050, "869 application"), which was filed on 9 September 1999, and titled "Catalyst complex with carbene ligand." (Paper 1 at 4.)

7. The inventors listed for Nolan are Steven P. Nolan and Jinkun Huang. (Paper 1 at 4.)

8. Nolan's real-party-in-interest is identified as the University of New Orleans Foundation. (Paper 6 at 1.)

9. The 869 application claims the benefit of priority under 35 U.S.C. § 119(e) of:

U.S. Provisional Application 60/099,722 (GX 2052, "722 provisional application), filed 10 September 1998;

and

U.S. Provisional Application 60/115,358 (GX 2051, "358 provisional application"), filed 8 January 1999. (GX 2050 at 1.)

10. Nolan has NOT been accorded the benefit for priority of the 358 provisional application. (Paper 29 at 4.)

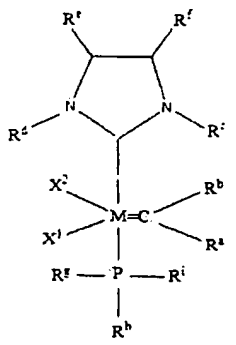
11. Nolan has NOT been accorded the benefit for priority of the 722 provisional application. (Paper 29 at 4.)

The Count and Claims of the Parties

12. There are three Counts, Count 4, Count 5, and Count 6. (Paper 29, Appendices 1, 2, and 3.)

13. Count 4 reads:

A composition of matter having the formula:



where:

M is Ru or Os;
X^1 and X^2 are each independently an anionic ligand;
P is phosphorus
R^a is:
(1) hydrogen,
(2) a hydrocarbyl group or
(3) a hydrocarbyl group substituted with a
(a) a C_{1-10} alkyl group,
(b) a C_{1-10} alkoxy group,
(c) halogen or
(d) a phenyl group substituted with:
(i) halogen,
(ii) a C_{1-5} alkyl group or
(iii) a C_{1-5} alkoxy group, and
R^b is:
(1) hydrogen,
(2) a carboxy group
(3) a hydrocarbyl group or
(4) a hydrocarbyl group substituted with a
(a) a C_{1-10} alkyl group,
(b) a C_{1-10} alkoxy group,
(c) halogen or
(d) a phenyl group substituted with:
(i) halogen,
(ii) a C_{1-5} alkyl group or
(iii) a C_{1-5} alkoxy group,
with the proviso that neither Ra or Rb can be
$-C=C(Y)(Z)$
where Y and Z are each independently any moiety;
R^c and R^d are each independently:
(1) hydrogen,
(2) a C_{2-20} alkoxycarbonyl group,
(3) a C_{1-20} carboxylato group,
(4) a C_{1-20} alkoxy group,
(5) a C_{2-20} alkenyloxy group,
(6) a C_{2-20} alkynyloxy group,
(7) an aryloxy group,
(8) a hydrocarbyl group or
(9) a hydrocarbyl group substituted with
(a) a C_{1-10} alkyl group,
(b) a C_{1-10} alkoxy group,
(c) halogen or
(d) a phenyl group substituted with

(i) halogen
(ii) a C_{1-5} alkyl group or
(iii) a C_{1-5} alkoxy group; and

R^e and R^f are each independently;
(1) hydrogen,
(2) a hydrocarbyl group,
(3) a C_{2-20} alkoxycarbonyl group,
(4) a C_{1-20} carboxylato group,
(5) a C_{1-20} alkoxy group,
(6) a C_{2-20} alkenyloxy group,
(7) a C_{2-20} alkynyloxy group,
(8) an aryloxy group,

where each R^e and R^f is optionally substituted with:
(a) a C_{1-5} alkyl group,
(b) a C_{1-5} alkoxy group,
(c) halogen or
(d) a phenyl group substituted with:
(i) halogen,
(ii) a C_{1-5} alkyl group or
(iii) a C_{1-5} alkoxy group;

R^g, R^h and R^i are each independently:
(1) a C_{1-10} alkyl group,
(2) a C_{3-10} cycloalkyl group or
(3) a C_{5-20} aryl group.

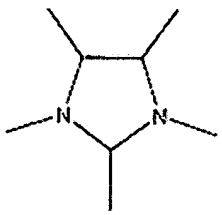
14. Counts 4, 5, and 6 differ solely in the definition of the equatorial carbene moiety:

Count 4: $=C(R^a)(R^b)$

Count 5: $=C=C(R^a)(R^b)$

Count 6: $=C=C=C(R^a)(R^b)$

15. The critical structure to resolve the motion before us is the upper ligand,



which is a "saturated" nitrogen-containing heterocyclic nucleophilic carbene that is a derivative of imidazolidine.

16. In lay terms, the upper ligand is a five-membered ring, two members of which are substituted nitrogen atoms, while the remainder of the ring atoms are carbon. The "carbene" carbon is in the ring between the two nitrogen atoms. The ring is said to be "saturated" because it contains no double bonds. Accordingly, although not shown, the carbons bonded to one another at the top of the structure are each bonded to a hydrogen atom in addition to the groups R^e and R^f.

17. The claims of the parties are:

Grubbs:	1-34 and 40-75
Nolan:	9, 11-14, 17-21, 23-40, 43-65, 71-73 and 77-111.

18. The claims of the parties that correspond to Count 4 are:

Grubbs:	1-15, 17-34 and 40-75
Nolan:	9, 11-14, 17-21, 23-40, 45-46, 51-63, 65, 77-78, 89-92 and 107-109.

19. The claims of the parties that do <u>not</u> correspond to Count 4 are:

Grubbs:	16
Nolan:	43-44, 47-50, 64, 71-73, 79-88, 93-106 and 110-111.

20. The claims of the parties that correspond to Count 5 are:

Grubbs:	1-9, 11-15, 17-34, 40-48 and 64-74
Nolan:	14, 17-21, 23-26, 33-38, 43-44, 47-48, 53-58, 60-62, 77-78 and 90-111.

21. The claims of the parties that do not correspond to Count 5 are:

Grubbs:	10, 16, 49-63 and 75
Nolan:	9, 11-13, 27-32, 39-40, 45-46, 49-52, 59, 63-65, 71-73 and 79-89.

22. The claims of the parties that correspond to Count 6 are:

Grubbs:	1-9, 11-15, 17-34, 40-48 and 64-74
Nolan:	9, 11-14, 17-21, 23-38, 43-44, 49-62, 77-78, 90-92 and 107-109.

23. The claims of the parties that do not correspond to Count 6 are:

Grubbs:	10, 16, 49-63 and 75
Nolan:	39-40, 45-48, 63-65, 71-73, 79-89, 93-106 and 110-111.

24. The claims of the parties that do not correspond to any of Counts 4, 5, and 6, and which are therefore not involved in this interference are:

Grubbs: 16

Nolan: 64, 71–73 and 79–88.

Motions

25. Of the eight motions Grubbs was deemed to have proposed, authorization to file was denied for four; three motions were deferred, and one was authorized. (Paper 42 (ordering Interference 105,373 Paper 44 applicable to Interference 105,374: see Interference 105,373 Paper 44 at 3-6).)

26. Grubbs filed one motion, styled "Grubbs Motion 5" (Paper 44); Nolan opposed (Paper 46), and Grubbs replied (Paper 47).

27. Of the three motions Nolan proposed, one was authorized, one was denied authorization, and one was deferred. (See Interference 105,373 Paper 44 at 6.)

28. Nolan filed one motion, styled "Nolan Substantive Motion 1" (Paper 43), which Grubbs opposed (Paper 45). Nolan did not file a reply.

III. Discussion

Nolan Substantive Motion 1

29. Nolan seeks to be accorded the benefit for priority of its 722 and 358 provisional applications with respect to Count 4. (Paper 43 at 1.)

30. Nolan urges that "both provisional applications show both conception and reduction to practice of a complex which corresponds to Count 4." (Paper 43 at 2.)

31. Nolan has not provided any exhibits in support of its motion. (Paper 43, Appendix 1: "There are no exhibits.")

32. In its statement of material facts, Nolan urges "that the complex of Count 4 includes the moiety $M=C(R^a)(R^b)$." (Paper 43, Appendix 2 (A2 at 1, ¶ 2).)

33. Nolan urges further that the 722 and 358 show complexes containing that moiety at specific pages and lines. (Paper 43, Appendix 2 (A2 at 2, ¶ 3, 4, 7, 8, and 8 (repeated paragraph numbers original).))

34. Nolan does not direct our attention to any disclosure in either the 722 or 358 provisional applications of a saturated imidazolidine based carbene ligand, free or in a ruthenium or osmium complex.

Discussion

We shall not grant this motion for several reasons. Procedurally, the motion is deficient in that the argument amounts to little more than pleading. Aside from asserting that the provisional applications show what Nolan says they do, there is no attempt to explain why what is shown is within the scope of Count 4. Nolan has failed to support its argument with citations to any exhibits, including copies of the provisional applications and the involved application. Nor has Nolan attempted to show that one skilled in the art would recognize that the disclosure of either provisional application teaches an embodiment within the scope of Count 4. Moreover, Nolan has not presented any evidence relating to the state of the art or the level of ordinary skill in the art. Thus, Nolan has failed to carry its evidentiary burdens of proof.

Substantively, Nolan's argument and recitation of material facts are insufficient because they fail to direct our attention to any structure in either provisional application that corresponds to the saturated N-heterocyclic carbene ligand. As it was Nolan's burden to prove by a preponderance of the evidence that it is entitled to be accorded benefit, we conclude that Nolan has failed to carry its procedural and its substantive burdens.

Under these circumstances, we need not consider Grubbs' opposition (Paper 45.)

Nolan Substantive Motion 1 is DENIED.

Grubbs Motion 5

Grubbs moves to deny Nolan an accorded benefit date. (Paper 44 at 2.) However, in this interference, Nolan has not been accorded benefit for priority of either of its provisional applications with respect to any of Counts 4 through 6. (Paper 29 at 4.) Accordingly, Grubbs Motion 5 is DISMISSED as moot.

IV. Order

In view of the foregoing considerations, it is:

ORDERED that Grubbs Motion 5 is DISMISSED.

FURTHER ORDERED that Nolan Substantive Motion 1 is DENIED.

FURTHER ORDERED that a copy of this Decision shall be placed in the files of U.S. Application 10/124,745 and U.S. Application 09/392,869.

FURTHER ORDERED that an ORDER setting times for taking action to determine priority will issue in due course.

FURTHER ORDERED that if there is a settlement not of record in this interference, the

attentions of the parties are directed to 35 U.S.C. § 135(c) and Bd.R. 205.

/ss/ Fred E. McKelvey FRED E. McKELVEY Senior Administrative Patent Judge	)
/ss/ Adriene Lepiane Hanlon ADRIENE LEPIANE HANLON Administrative Patent Judge	) BOARD OF PATENT APPEALS AND INTERFERENCES
/ss/ Mark Nagumo MARK NAGUMO Administrative Patent Judge	)

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